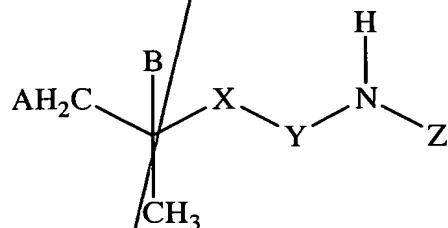


What Is Claimed Is:

Sub B
5
1. A pharmaceutical composition, comprising a therapeutically effective amount of an active compound in a sustained-release formulation, wherein said active compound is selected from the group consisting of:

isovaleric acid, a pharmaceutically acceptable salt of isovaleric acid, a pharmaceutically acceptable ester of isovaleric acid, a pharmaceutically acceptable amide of isovaleric acid, a compound having the structure:



wherein A = H, CH₃ or OH,

10 B = H, OH, or CH₃,

X = CH₂, CHCH₃, C(CH₃)₂, -O-, CH(OH)-, or -CH₂O-,

Y = -CO-, or -SO₂-, and

Z = H, CH₂CO₂H, or CH₂CONH₂,

and a compound selected from the group consisting of 2-methylisovaleramide,

15 3-methylisovaleramide, 2,2-dimethylisovaleramide, 2,3-dimethylisovaleramide,

4-methylisovaleramide, 2,4-dimethylisovaleramide, 3,4-dimethylisovaleramide,

2,2,4-trimethylisovaleramide, 3-hydroxyisovaleramide, 4-

hydroxyisovaleramide, 4-hydroxy-3-methyl-isovaleramide, 2-

hydroxyisovaleramide, N-(2-acetamido)isovaleramide, 2-methyl-1-propyl

20 sulfonamide, 1-methylethyl sulfamate, 2-methyl-1-propyl sulfamate, isopropyl

carbamate, and isobutylcarbamate.

2. A composition according to claim 1 wherein said composition is in a form suitable for oral administration.

3. A composition according to claim 1, wherein said composition releases said active compound at a rate sufficient to maintain a therapeutically effective serum concentration of said active compound for at least 8 hours.

4. A composition according to claim 1, wherein said composition 5 releases said active compound at a rate sufficient to maintain a therapeutically effective serum concentration of said active compound for at least 12 hours.

5. A composition according to claim 1, wherein said sustained-release formulation comprises a matrix, wherein said matrix comprises a gelling agent that dissolves slowly after hydration.

10 6. A composition according to claim 5, wherein said gelling agent is xanthan gum.

7. A composition according to claim 1, wherein said formulation has a film-coating that retards access of liquids to the active compound and/or retards release of the active compound through the film-coating.

15 8. A composition according to claim 1, further comprising one or more excipients to assist in formulation.

9. A composition according to claim 1, wherein said active compound is an amide of isovaleric acid.

10. A composition according to claim 9, wherein said active compound 20 is isovaleramide.

11. A composition according to claim 1, comprising (A) a core that comprises a compressed mixture of (i) the therapeutically effective unit dose of the active compound and (ii) a matrix material and (B) a film coating around the core.

12. A composition according to claim 1, wherein said matrix material dissolves slowly and/or resists hydration.

13. A composition according to claim 12, wherein said matrix comprises xanthan gum.

5 14. A composition according to claim 11, wherein said core further comprises one or more excipients to assist in formulation.

15. A composition according to claim 11, wherein said film coating comprises a polymeric coating material.

10 16. A composition according to claim 15, wherein said polymeric coating material comprises a mixture of ethyl cellulose and hydroxypropyl methylcellulose.

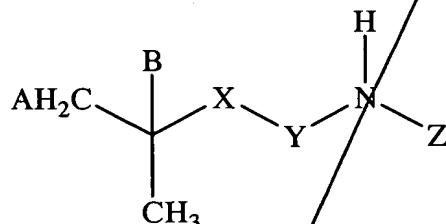
17. A composition according to claim 16, wherein said polymeric coating material further comprises a plasticizer.

15 18. A composition according to claim 1, wherein the composition is in the form of a tablet, capsule, or multiparticulate composition.

19. A process for preparing a ~~sustained~~ release pharmaceutical composition which contains a therapeutically effective amount of an active compound, comprising mixing together a therapeutically effective amount of an active compound with one or more substances that act to sustain release of the compound, wherein the active compound is selected from the group consisting of:

isovaleric acid, a pharmaceutically acceptable salt of isovaleric acid, a pharmaceutically acceptable ester of isovaleric acid, a pharmaceutically acceptable amide of isovaleric acid, an active compound having the structure:

5



10

wherein A = H, CH₃ or OH,
 B = H, OH, or CH₃,
 X = CH₂, CHCH₃, C(CH₃)₂, -O-, CH(OH)-, or -CH₂O-,
 Y = -CO-, or -SO₂-, and
 Z = H, CH₂CO₂H, or CH₂CONH₂,

and a compound selected from the group consisting of 2-methylisovaleramide, 3-methylisovaleramide, 2,2-dimethylisovaleramide, 2,3-dimethylisovaleramide, 4-methylisovaleramide, 2,4-dimethylisovaleramide, 3,4-dimethylisovaleramide, 20 2,2,4-trimethylisovaleramide, 3-hydroxyisovaleramide, 4-hydroxyisovaleramide, 4-hydroxy-3-methyl-isovaleramide, 2-hydroxyisovaleramide, N-(2-acetamido)isovaleramide, 2-methyl-1-propyl sulfonamide, 1-methylethyl sulfamate, 2-methyl-1-propyl sulfamate, isopropyl carbamate, and isobutylcarbamate, with the proviso that the treated pathology is 25 not convulsions when the compound is 3-methylisovaleramide, isopropyl carbamate, or isobutyl carbamate.

20. A process according to claim 19, wherein the active compound is combined with a matrix comprising that dissolves slowly and/or resists
30 hydration.

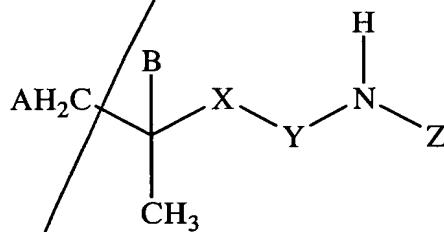
21. A process according to claim 20, wherein said matrix comprises xanthan gum.

5 22. A process according to claim 19, further comprising the step of compressing the mixture to form a solid core.

10 23. A process according to claim 19, wherein the active compound is coated by applying a polymer solution at an appropriate temperature and time to form a film-coating.

15 24. A method of treating a pathology that is ameliorated by a modulation of CNS activity, comprising administering to a patient suffering from said pathology a pharmaceutical composition comprising a therapeutically effective amount of a sustained-release formulation, wherein the active compound is selected from the group consisting of:

20 isovaleric acid, a pharmaceutically acceptable salt of isovaleric acid, a pharmaceutically acceptable ester of isovaleric acid, a pharmaceutically acceptable amide of isovaleric acid, an active compound having the structure:



wherein A = H, CH₃ or OH,

25 B = H, OH, or CH₃,

X = CH₂, CHCH₃, C(CH₃)₂, -O-, CH(OH)-, or -CH₂O-,

Y = -CO-, or -SO₂-, and

Z = H, CH₂CO₂H, or CH₂CONH₂,

and a compound selected from the group consisting of 2-methylisovaleramide, 3-methylisovaleramide, 2,2-dimethylisovaleramide, 2,3-dimethylisovaleramide, 4-methylisovaleramide, 2,4-dimethylisovaleramide, 3,4-dimethylisovaleramide, 2,2,4-trimethylisovaleramide, 3-hydroxyisovaleramide, 4-hydroxyisovaleramide, 5 4-hydroxy-3-methyl-isovaleramide, 2-hydroxyisovaleramide, N-(2-acetamido)isovaleramide, 2-methyl-1-propyl sulfonamide, 1-methylethyl sulfamate, 2-methyl-1-propyl sulfamate, isopropyl carbamate, and isobutylcarbamate, with the proviso that the treated pathology is not convulsions when the compound is 3-methylisovaleramide, isopropyl carbamate, or isobutyl carbamate.

10

15 25. A method according to claim 24, wherein said sustained-release pharmaceutical composition is in tablet form and the tablet contains a therapeutically effective unit dose of the active compound.

15 26. A method according to claim 24, wherein said sustained-release pharmaceutical composition is a multiparticulate composition and the multiparticulate composition contains a therapeutically effective unit dose of the active compound.

20 27. A method according to claim 24, wherein said sustained-release formulation comprises a matrix that dissolves slowly and/or resists hydration.

20 28. A method according to claim 26, wherein said matrix comprises xanthan gum.

25 29. A method according to claim 24, wherein said sustained-release formulation comprises (A) a core comprising (i) a compressed mixture of the therapeutically effective unit dose of the active compound and a matrix material; and (ii) a film-coating comprising a polymeric coating material.

30. A method according to claim 24, wherein said pathology is selected from the group consisting of convulsions, spasticity, affective mood disorder, neuropathic pain syndrome, headache, restlessness syndrome, movement disorder substance abuse/craving, and cerebral trauma.

5 31. A method according to claim 24, wherein said compound is an amide of isovaleric acid.

32. A method according to claim 30, wherein said compound is isovaleramide.

10 33. A method according to claim 24, wherein said compound is released in an amount produces an anxiolytic effect, said patient is a human, and said pathology is mild anxiety, symptoms of smoking cessation, alcoholism and other substance abuse, premenstrual syndrome, menstrual discomfort, insomnia and hyperexcitability in children.

15 34. A method according to claim 24, wherein said patient is a domestic or domesticated animal and said compound is released in an amount that produces an anxiolytic effect rather than excitation.